(19) World Intellectual Property Organization

International Bureau



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(43) International Publication Date 26 May 2005 (26.05.2005) P

PCT

(10) International Publication Number WO 2005/047503 A1

(51) International Patent Classification⁷: C07K 7/04

C12N 15/10,

(21) International Application Number:

PCT/EP2003/012783

(22) International Filing Date:

15 November 2003 (15.11.2003)

(25) Filing Language:

English

(26) Publication Language:

English

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- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (regional): ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: TEMPLATE FIXED BETA-HAIRPIN LOOP MIMETICS AND THEIR USE IN PHAGE DISPLAY

(57) Abstract: Template-fixed β -hairpin mimetics of the general formula R¹-Cys-Z-Cys-R² (I) wherein the two Cys residues are bridged by a disulfide bond thereby forming a cyclic peptide; R¹ and R² are preferably Glu-Thr and Thr-Lys; or Lys-Thr and Thr-Glu; or Thr-Glu and Lys-Thr; or Thr-Lys and Glu-Thr; or Leu-Glu and Lys-Val; or Val-Lys and Glu-Leu; or Glu-Leu and Val-Lys; or Lys-Leu and Val-Glu; or Asn-Gly and Lys-Val; or Val-Gly and Lys-Asn; or Gly-Asn and Val-Lys; or Gly-Val and Asn-Lys; or Gly-Gly and Gly-Gly; or Glu-Leu-Lys and Glu-Val-Lys; or Lys-Val-Glu and Lys-Leu-Glu; or Leu-Glu-Lys and Glu-Lys-Val; or Val-Lys-Glu and Lys-Glu-Leu; or Lys-Glu-Leu and Val-Lys-Glu; or Glu-Lys-Glu; or Glu-Lys-Glu-Leu and Val-Lys-Glu; or Glu-Lys-Glu; or Glu-Lys-Glu; or Glu-Lys-Glu-Leu and Val-Lys-Glu; or Glu-Lys-Glu; or Glu-Lys-Glu-Leu; or Leu-Glu-Glu-Lys; or Val-Gly-Glu-Glu-Leu; or Leu-Glu-Glu-Glu-Lys; or Val-Gly-Gly and Gly-Glu-Leu; or Leu-Glu-Glu and Lys-Gly-Val; or Gly-Gly-Gly and Gly-Gly-Gly; and Z is a chain of n amino acid residues with n being an integer form 4 to 20 and with each of these n amino acid residues being, independently, derived from any naturally occurring L- α-amino acid are provided. Libraries comprising a plurality of these templates can be used for the construction of phage display derived template-fixed β-hairpin mimetics generating phage display libraries with very high binding constants to targets, thus combining the advantage of screening of large phage display derived template-fixed β-hairpin libraries which in turn considerably facilitates structure-activity studies, and hence the discovery of new molecules with potent activities and with novel selectivities towards different types of targets.

